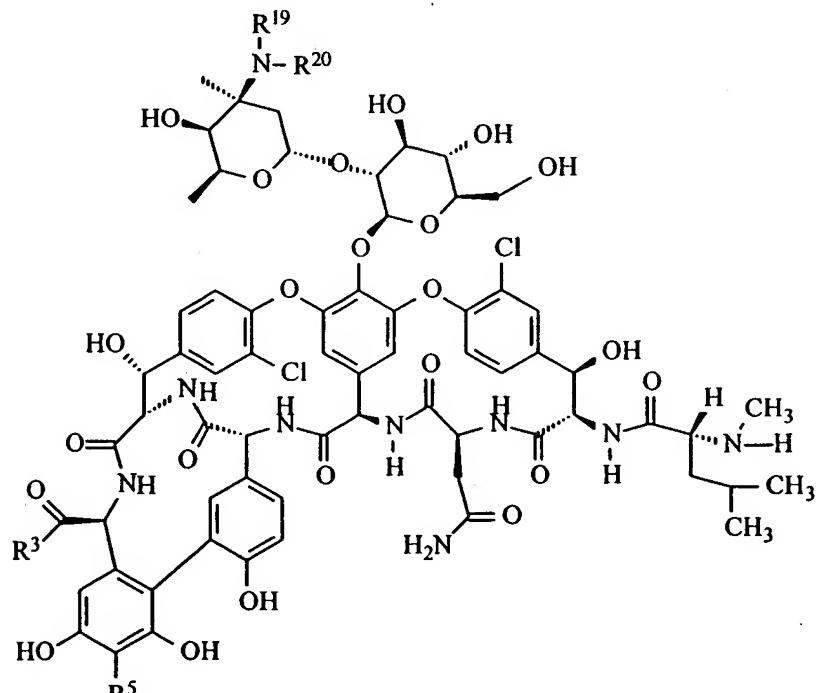


WHAT IS CLAIMED IS:

1. A glycopeptide substituted at the C-terminus and/or the R-terminus with a substituent that comprises one or more saccharide groups and a carboxy group; or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof;

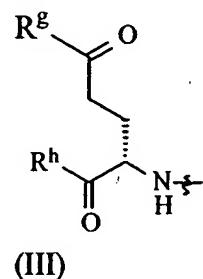
5 provided the glycopeptide is not a compound of formula II:



(II)

- a) wherein R³ is N-(2-amino-2-deoxygluconic acid); R⁵ is hydrogen; R¹⁹ is hydrogen; and R²⁰ is -NH-CH₂CH₂-NH-(CH₂)₉CH₃; or
- b) wherein R³ is OH; R⁵ is -CH₂-N-(2-amino-2-deoxygluconic acid); R¹⁹ is hydrogen; and R²⁰ is -CH₂CH₂-NH-(CH₂)₉CH₃.

2. The glycopeptide of claim 1 which is substituted at the C-terminus and the R-terminus with a substituent that comprises a saccharide and a carboxy group.
3. The glycopeptide of claim 1 which is substituted at the C-terminus with a substituent that comprises a saccharide and a carboxy group.
- 5 4. The glycopeptide of claim 1 which is substituted at the R-terminus with a substituent that comprises a saccharide group and a carboxy group.
5. The glycopeptide of claim 3 wherein the substituent that comprises a saccharide and a carboxy group has the formula $-N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.
10
6. The glycopeptide of claim 3 wherein the substituent is a substituent of formula III:



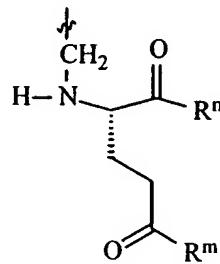
(III)

wherein one of R^g and R^h is a saccharide, and the other of R^g and R^h is OH.

7. The glycopeptide of claim 4 wherein the substituent that comprises a saccharide and a carboxy group has the formula $-CH_2N(R^w)-R^y-R^x$; wherein R^w is hydrogen or
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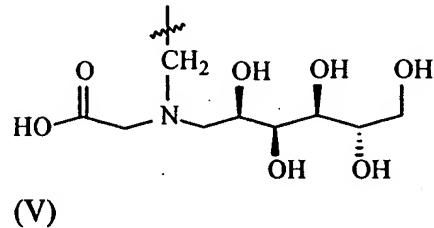
alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.

8. The glycopeptide of claim 4 wherein the substituent is a substituent of formula IV:

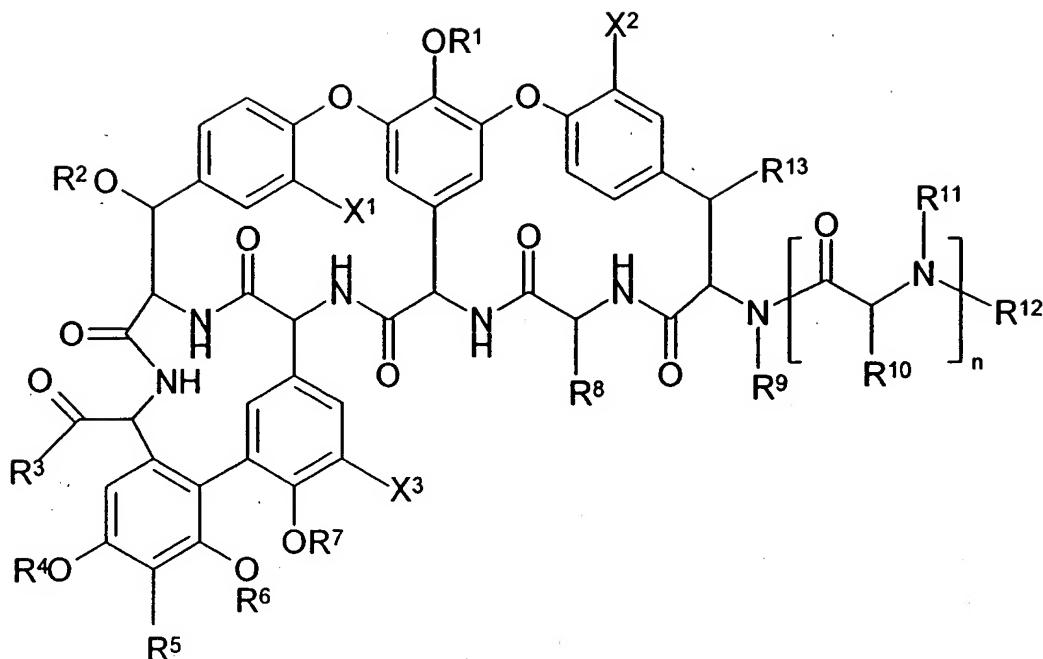


5 wherein one of R^m and Rⁿ is a saccharide, and the other is OH.

9. The glycopeptide of claim 4 wherein the substituent is a substituent of formula V:



10. The glycopeptide of claim 1 which is a compound of formula I:



(I)

wherein:

5 R^1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-R^a-Y-R^b-(Z)_x$; or R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

10 R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

15 R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^c$, or $-O-R^c$; or R^3 is a substituent that comprises a saccharide group and a carboxy group;

20 R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and

a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

5 R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$, $-CH(R^c)-R^x$, $-CH(R^c)-NR^c-R^a-C(=O)-R^x$, or R^5 is substituent that comprises a saccharide group and a carboxy group;

10 R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

15 R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

20 R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

25 R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

30 R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-Ar^1-O-Ar^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

35 R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or

R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

5 R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

10 R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

10 R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group; each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

15 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

20 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

25 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

25 R^e is a saccharide group;

25 each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R^x is N-linked amino saccharide or an N-linked heterocycle;

X¹, X² and X³ are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

-S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-,

5 -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-,

-OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^c-,

-OC(O)NR^c-, -C(=O)-, and -NR^cSO₂NR^c;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

10 n is 0, 1 or 2; and

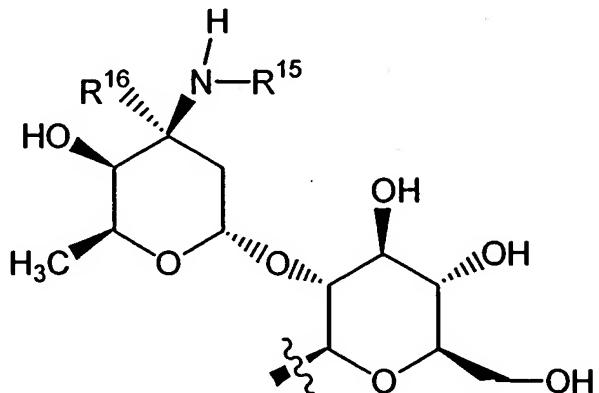
x is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided at least one of R³ and R⁵ is a substituent that comprises a saccharide group and a carboxy group.

15 11. The glycopeptide of claim 10 wherein R¹ is a saccharide group optionally substituted with -R^a-Y-R^b-(Z), R^f, -C(O)R^f, or -C(O)-R^a-Y-R^b-(Z).

12. The glycopeptide of claim 10 wherein R¹ is a saccharide group of the formula:

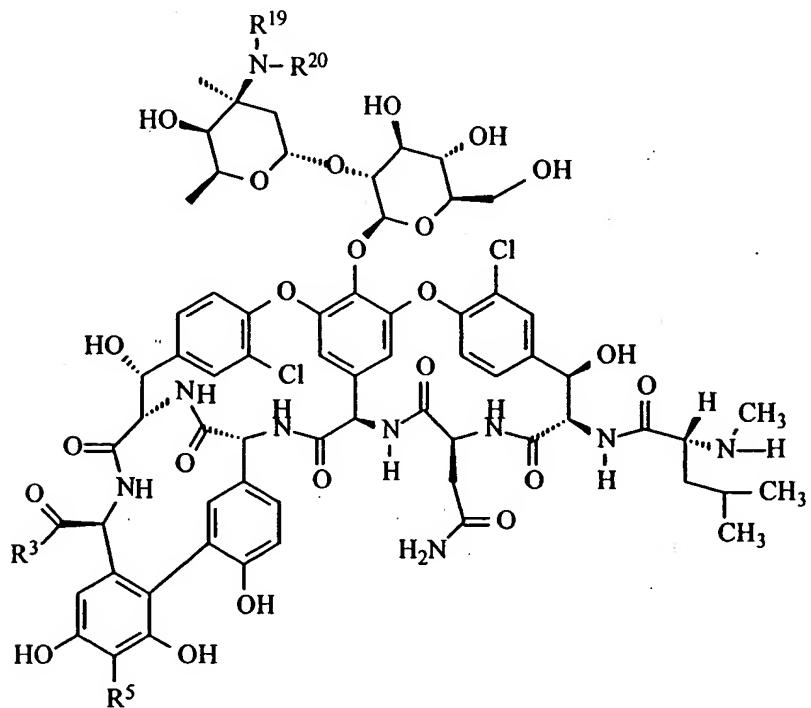


wherein R^{15} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and R^{16} is hydrogen or methyl.

13. The glycopeptide of claim 10 wherein R^3 has the formula $-N(R^w)-R^y-R^x$, wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.

14. The glycopeptide of claim 10 wherein R^5 is a substituent that comprises a saccharide group and a carboxy group having the formula $-CH_2N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.

10 15. The glycopeptide of claim 10 which is a compound of formula II:



(II)

wherein:

R^{19} is hydrogen;

R^{20} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and

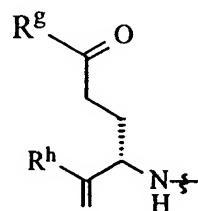
R^a , Y , R^b , Z , x , R^f , R^3 , and R^5 have the values defined in claim 10;

5 or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided at least one of R^3 and R^5 is a substituent that comprises a saccharide group and a carboxy group.

16. The glycopeptide of claim 15 wherein R^3 has the formula $-N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.

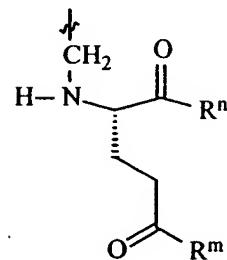
10 17. The glycopeptide of claim 16 wherein R^3 is of the formula III:



wherein one of R^g and R^h is a saccharide, and the other of R^g and R^h is OH.

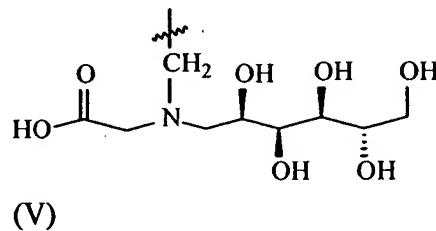
18. The glycopeptide of claim 15 wherein R^5 is a substituent that comprises a saccharide group and a carboxy group having the formula $-CH_2N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with at least one carboxy group; and R^x is a saccharide, and R^5 is -OH.

19. The glycopeptide of claim 18 wherein R⁵ is of the formula IV:



wherein one of R^m and Rⁿ is a saccharide, and the other is OH.

20. The glycopeptide of claim 15 wherein R⁵ is of the formula V:



21. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.

22. The pharmaceutical composition of Claim 21, which comprises a cyclodextrin.

23. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1.

10 24. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of

a glycopeptide of claim 10.

25. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 15.

5

26. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 21.